



A DOCPHOENIX

APPL PARTS

IMIS
Internal Misc. Paper
LET
Misc. Incoming Letter

371P
PCT Papers in a 371 Application

A...
Amendment Including Elections

ABST
Abstract

ADS
Application Data Sheet

AF/D
Affidavit or Exhibit Received

APPENDIX
Appendix

ARTIFACT
Artifact

BIB
Bib Data Sheet

CLM
Claim

COMPUTER
Computer Program Listing

CRFL
All CRF Papers for Backfile

DIST
Terminal Disclaimer Filed

DRW
Drawings

FOR
Foreign Reference

FRPR
Foreign Priority Papers

IDS
IDS Including 1449

NPL
Non-Patent Literature

OATH
Oath or Declaration

PET.
Petition

RETMAIL
Mail Returned by USPS

SEQLIST
Sequence Listing

SPEC
Specification

SPEC NO
Specification Not in English

TRNA
Transmittal New Application

CTNF
Count Non-Final

CTRS
Count Restriction

EXIN
Examiner Interview

M903
DO/EO Acceptance

M905
DO/EO Missing Requirement

NFDR
Formal Drawing Required

NOA
Notice of Allowance

PETDEC
Petition Decision

OUTGOING

CTMS
Misc. Office Action

1449
Signed 1449

892
892

ABN
Abandonment

APDEC
Board of Appeals Decision

APEA
Examiner Answer

CTAV
Count Advisory Action

CTEQ
Count Ex parte Quayle

CTFR
Count Final Rejection

INCOMING

AP.B
Appeal Brief

C.AD
Change of Address

N/AP
Notice of Appeal

PA..
Change in Power of Attorney

15/03/02 REM 9
Applicant Remarks in Amendment

XT/
Extension of Time filed separate

File Wrapper

FWCLM
File Wrapper Claim

IIFW
File Wrapper Issue Information

SRFW
File Wrapper Search Info

Internal

SRNT
Examiner Search Notes

CLMPTO
PTO Prepared Complete Claim Set

ECBOX
Evidence Copy Box Identification

WCLM
Claim Worksheet

WFEE
Fee Worksheet

6/26/03

BACKFILE DOCUMENT INDEX SHEET

a rejected base claim and were said to be allowable if rewritten in independent form including all the limitations of the base claims and any intervening claims. Applicants respectfully submit that rewriting these claims is not required in view of the amendments set forth herein to the claims from which claims 2, 3 and 5-9 depend.

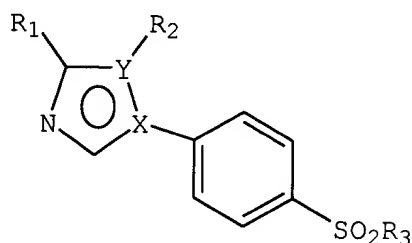
Applicants respectfully submit that in view of the foregoing amendments, all of the pending claims are in condition for allowance. A notice to that effect is solicited.

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Attachment: Marked up copy of amendments

Marked Up Copy of Amended Claims:

1. (Amended) A compound of formula I:



I

wherein:

one of X or Y represents N and the other represents C;

R₁ represents hydrogen, methyl, halogen, cyano, nitro, -CHO, -COCH₃ or -COOR₄;

R₂ represents aryl or heteroaryl ~~optionally-unsubstituted or~~ substituted with one or more groups independently selected from halogen, C₁₋₈ alkyl, C₁₋₈ haloalkyl, R₄OC₀₋₈ alkyl, R₄SC₀₋₈ alkyl, cyano, nitro, -NR₄R₆, -NR₄SO₂R₅, -SOR₅, -SO₂R₅, -SO₂NR₄R₆, or -CONR₄R₆;

R₃ represents C₁₋₈ alkyl, C₁₋₈ haloalkyl or -NR₄R₆;

R₄ represents hydrogen, C₁₋₈ alkyl, or arylC₀₋₈ alkyl (where the aryl group can be ~~optionally-unsubstituted or~~ substituted with one or more groups selected from C₁₋₈ alkyl, halogen, C₁₋₈ haloalkyl, cyano, nitro, R₇OC₀₋₈ alkyl, R₇SC₀₋₈ alkyl, -NR₇R₈, -NR₇COR₅, -COR₇ or -COOR₇);

R₅ represents C₁₋₈ alkyl or C₁₋₈ haloalkyl;

R₆ represents hydrogen, C₁₋₈ alkyl, arylC₁₋₈ alkyl (where the aryl group can be ~~optionally-unsubstituted or~~ substituted with one or more groups selected from C₁₋₈ alkyl, halogen, C₁₋₈ haloalkyl,

cyano, nitro, R_7OC_{0-8} alkyl, R_7SC_{0-8} alkyl, $-NR_7R_8$, $-NR_7COR_5$, $-COR_7$ or $-COOR_7$), $-COR_8$ or $-COOR_8$;

R_7 represents hydrogen, C_{1-8} alkyl or benzyl;

R_8 represents C_{1-8} alkyl or C_{1-8} haloalkyl;

aryl in the above definitions represents phenyl or naphthyl; and

heteroaryl in the above definitions represents pyridine, pyrazine, pyrimidine or pyridazine, which can be optionally fused to a benzene ring;

~~and their~~ salts, solvates or prodrugs thereof.

4. (Amended) A compound according to claim 1 wherein R_2 represents phenyl or pyridine ~~optionally unsubstituted or~~ substituted with one or more groups independently selected from halogen, C_{1-8} alkyl, C_{1-8} haloalkyl, R_4OC_{0-8} alkyl, R_4SC_{0-8} alkyl, cyano, nitro, $-NR_4R_6$, $-NR_4SO_2R_5$, $-SOR_5$, $-SO_2R_5$, $-SO_2NR_4R_6$, or $-CONR_4R_6$.

10. (Amended) A compound according to claim 9 wherein R_2 represents phenyl or pyridine ~~optionally unsubstituted or~~ substituted with one or more groups independently selected from halogen, C_{1-8} alkyl, C_{1-8} haloalkyl, R_4OC_{0-8} alkyl, R_4SC_{0-8} alkyl, cyano, nitro, $-NR_4R_6$, $-NR_4SO_2R_5$, $-SOR_5$, $-SO_2R_5$, $-SO_2NR_4R_6$, or $-CONR_4R_6$.

11. (Amended) A compound according to claim 1 selected from:

5-(4-fluorophenyl)-1-(4-methylsulfonylphenyl)imidazole;

5-(4-methylphenyl)-1-(4-methylsulfonylphenyl)imidazole;

5-(2,4-difluorophenyl)-1-(4-methylsulfonylphenyl)imidazole;

1-(4-methylsulfonylphenyl)-5-phenylimidazole;

5-(3,4-dichlorophenyl)-1-(4-methylsulfonylphenyl)imidazole;.

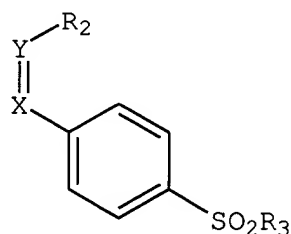
5-(4-methoxyphenyl)-1-(4-methylsulfonylphenyl)imidazole;
5-(3-fluoro-4-methoxyphenyl)-1-(4-methylsulfonylphenyl)imidazole;
5-(3-fluorophenyl)-1-(4-methylsulfonylphenyl)imidazole;
5-(3-fluoro-4-methylphenyl)-1-(4-methylsulfonylphenyl)imidazole;
5-(2-fluorophenyl)-1-(4-methylsulfonylphenyl)imidazole;
1-(4-methylsulfonylphenyl)-5-(4-trifluoromethoxyphenyl)imidazole;
5-(6-methyl-3-pyridyl)-1-(4-methylsulfonylphenyl)imidazole;
5-(2-fluoro-4-methoxyphenyl)-1-(4-methylsulfonylphenyl)imidazole;
5-(3-chloro-4-methylphenyl)-1-(4-methylsulfonylphenyl)imidazole;
5-(3-methoxy-4-methylphenyl)-1-(4-methylsulfonylphenyl)imidazole;
5-(4-chlorophenyl)-1-(4-methylsulfonylphenyl)imidazole;
5-(6-chloro-3-pyridyl)-1-(4-methylsulfonylphenyl)imidazole;
5-(2,6-dichloro-3-pyridyl)-1-(4-methylsulfonylphenyl)imidazole;
5-(2-chloro-6-methoxy-3-pyridyl)-1-(4-methylsulfonylphenyl)imidazole;
5-(5,6-dichloro-3-pyridyl)-1-(4-methylsulfonylphenyl)imidazole;
1-(4-methylsulfonylphenyl)-5-(4-propoxyphenyl)imidazole;
5-(3,5-diethoxyphenyl)-1-(4-methylsulfonylphenyl)imidazole;
5-(4-ethoxyphenyl)-1-(4-methylsulfonylphenyl)imidazole;
1-(4-methylsulfonylphenyl)-5-(4-nitrophenyl)imidazole;
5-(4-methylsulfonylphenyl)-1-(4-methylsulfonylphenyl)imidazole;
5-(4-ethylsulfonylphenyl)-1-(4-methylsulfonylphenyl)imidazole;
5-(4-dimethylaminophenyl)-1-(4-methylsulfonylphenyl)imidazole;
1-(4-fluorophenyl)-5-(4-methylsulfonylphenyl)imidazole;
5-(4-fluorophenyl)-4-methyl-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-5-(4-fluorophenyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-5-(4-methylphenyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-5-(2,4-difluorophenyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-1-(4-methylsulfonylphenyl)-5-phenylimidazole;

4-chloro-5-(3,4-dichlorophenyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-5-(4-methoxyphenyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-5-(3-fluoro-4-methoxyphenyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-5-(3-fluorophenyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-5-(3-fluoro-4-methylphenyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-5-(2-fluorophenyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-1-(4-methylsulfonylphenyl)-5-(4-trifluoromethoxyphenyl)imidazole;
4-chloro-5-(6-methyl-3-pyridyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-5-(2-fluoro-4-methoxyphenyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-5-(3-chloro-4-methylphenyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-5-(3-methoxy-4-methylphenyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-5-(4-chlorophenyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-5-(6-chloro-3-pyridyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-5-(2,6-dichloro-3-pyridyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-5-(2-chloro-6-methoxy-3-pyridyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-5-(5,6-dichloro-3-pyridyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-1-(4-methylsulfonylphenyl)-5-(4-propoxyphenyl)imidazole;
4-chloro-5-(3,5-diethoxyphenyl)-1-(4-methylsulfonylphenyl)imidazole;

4-chloro-5-(4-ethoxyphenyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-1-(4-methylsulfonylphenyl)-5-(4-nitrophenyl)imidazole;
4-chloro-5-(4-methylsulfonylphenyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-5-(4-ethylsulfonylphenyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-5-(6-ethoxy-3-pyridyl)-1-(4-methylsulfonylphenyl)imidazole;
4-bromo-5-(4-fluorophenyl)-1-(4-methylsulfonylphenyl)imidazole;
1-(4-fluorophenyl)-2-methyl-5-(4-methylsulfonylphenyl)imidazole;
2-chloro-1-(4-fluorophenyl)-5-(4-methylsulfonylphenyl)imidazole;
1-(4-fluorophenyl)-5-(4-methylsulfonylphenyl)imidazol-2-carboxaldehyde;
methyl 1-(4-fluorophenyl)-5-(4-methylsulfonylphenyl)imidazol-2-carboxylate;
2-bromo-1-(4-fluorophenyl)-5-(4-methylsulfonylphenyl)imidazole;
1-(4-fluorophenyl)-5-(4-methylsulfonylphenyl)imidazol-2-carbonitrile;
2-chloro-5-(4-methylsulfonylphenyl)-1-phenylimidazole;
2-chloro-1-(4-methylphenyl)-5-(4-methylsulfonylphenyl)imidazole;
4-[4-chloro-5-(4-fluorophenyl)imidazol-1-yl]benzenesulfonamide;
4-(4-chloro-5-phenylimidazol-1-yl)benzenesulfonamide;
4-[4-chloro-5-(3,4-dichlorophenyl)imidazol-1-yl]benzenesulfonamide;
4-[4-chloro-5-(4-methylphenyl)imidazol-1-yl]benzenesulfonamide;
4-[4-chloro-5-(4-ethoxyphenyl)imidazol-1-yl]benzenesulfonamide;
4-[4-chloro-5-(3-fluoro-4-methoxyphenyl)imidazol-1-yl]benzenesulfonamide;
4-[4-chloro-5-(6-chloro-3-pyridyl)imidazol-1-yl]benzenesulfonamide;
4-[5-(4-fluorophenyl)imidazol-1-yl]benzenesulfonamide;

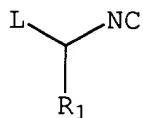
5-(4-aminophenyl)-4-chloro-1-(4-methylsulfonylphenyl)imidazole;
5-(6-ethoxy-3-pyridyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-5-(4-dimethylaminophenyl)-1-(4-methylsulfonylphenyl)imidazole;
5-(3-chloro-4-dimethylaminophenyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-5-(3-chloro-4-dimethylaminophenyl)-1-(4-methylsulfonylphenyl)imidazole;
5-(4-acetylaminophenyl)-4-chloro-1-(4-methylsulfonylphenyl)imidazole;
5-(4-ethylsulfinylphenyl)-1-(4-methylsulfonylphenyl)imidazole;
5-(4-ethylsulfonylphenyl)-1-(4-methylsulfonylphenyl)imidazole;
~~or a salt, solvate or prodrug thereof a salt thereof;~~
a solvate thereof; and
a prodrug thereof.

12. (Amended) A process for preparing a compound of formula I according to claim 1 which comprises:
(a) when in a compound of formula I R_1 represents hydrogen or methyl, reacting an imine of formula II



II

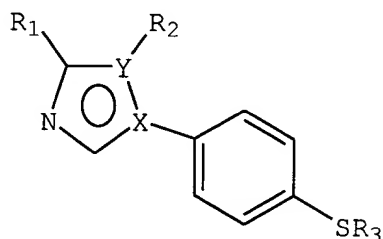
wherein X, Y, R_2 and R_3 are as defined in claim 1, with an isocyanide of formula III



III

wherein R_1 represents hydrogen or methyl and L represents a ~~good~~ leaving group; or

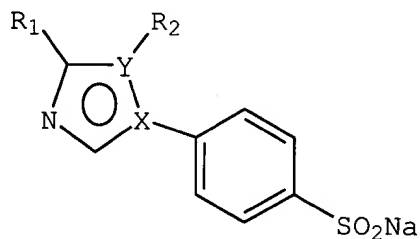
(b) when in a compound of formula I R_3 represents C_{1-8} alkyl or C_{1-8} haloalkyl, oxidizing a thioether of formula VIII,



VIII

wherein R_3 represents C_{1-8} alkyl or C_{1-8} haloalkyl and X, Y, R_1 and R_2 are as defined in claim 1, with ~~a suitable an~~ oxidizing agent; or

(c) when in a compound of formula I R_3 represents -NH_2 , reacting a compound of formula IX



IX